

IN THE SPECIFICATION

The paragraph beginning at line 1, page 18 has been amended as follows: ✓

a<sup>1</sup> 2-{1-[(5-[(4-chlorobenzoyl)amino]methyl)thien-2-yl)sulfonyl]piperidin-4-yl}-2H-1,2,3-benzotriazole-5-carboxylic acid

The paragraph beginning at line 17, page 18 has been amended as follows: ✓

a<sup>2</sup> 1-{1-[(5-[(4-chlorobenzoyl)amino]methyl)thien-2-yl)sulfonyl]piperidin-4-yl}-1H-1,2,3-benzotriazole-5-carboxylic acid

The paragraph beginning at line 19, page 18 has been amended as follows: ✓

a<sup>3</sup> 1-{1-[(5-[(4-chlorobenzoyl)amino]methyl)thien-2-yl)sulfonyl]piperidin-4-yl}-1H-1,2,3-benzotriazole-6-carboxylic acid

The paragraph beginning at line 9 of page 19 has been amended as follows: ✓

a<sup>4</sup> methyl 3-([1-[(5-[(4-chlorobenzoyl)amino]-methyl)thien-2-yl)sulfonyl]piperidin-4-yl]amino)-benzoate

The paragraph beginning at line 5 of page 24 has been amended as follows: ✓

a<sup>5</sup> methyl 3-([1-[(5-[(3-nitrobenzoyl)amino]methyl)-thien-2-yl)sulfonyl]-piperidin-4-yl]amino)benzoate

The paragraph beginning at line 9 of page 25 has been amended as follows: ✓

a<sup>6</sup>

methyl 3-([1-([5-([4-nitrobenzoyl]amino)methyl]-thien-2-yl)sulfonyl]piperidin-4-yl]amino)benzoate

The paragraph beginning at line 11 of page 29 has been amended as follows: ✓

a<sup>7</sup>

methyl 3-([1-([5-([3-methoxybenzoyl]amino)-methyl]thien-2-yl)sulfonyl]piperidin-4-yl]amino)-benzoate

The paragraph beginning at line 3 of page 37 has been amended as follows: ✓

a<sup>8</sup>

- Two further compounds are rather incidentally disclosed in WO 97/45403 (i.e. 2-([2-(benzoylaminomethyl)-thiophene]-5-sulfonyl)-1,2,3,5,6,7-hexahydro-N,N-dipropylecanopent[f]isoindol-dipropylcyclopent[f]isoindol-6-amine as selective dopamine D3 ligand) and in WO 97/30992 (i.e. N-[[5-([7-cyano-1,2,3,5-tetrahydro-1-(1H-imidazol-4-yl-methyl)-3-(phenylmethyl)-4H-1,4-benzodiazepin-4-yl]sulfonyl]-2-thienyl] methyl] benzamide and its hydrochloride to be used for inhibiting farnesyl-protein transferase).

The paragraph beginning at line 1 of page 54 has been amended as follows: ✓

a<sup>9</sup> 91a-Fraction 1 (250 mg, 0.82 mmol) was dissolved in 5 mL CH<sub>2</sub>Cl<sub>2</sub>. 1 mL of TFA was added dropwise and the solution was stirred for 3h. The solvents were evaporated to dryness and the oily residue was precipitated with diethylether to give 240 mg (95%) of ~~XX1~~91a: <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 9.10 (b, m, 1H), 8.72 (b, m, 1H), 8.07 (d, J = 8.3 Hz., 1H), 7.96 (d, J = 8.3 Hz, 1H), 7.55 (t, J = 8.3 Hz.), 7.40 (t, J = 8.3 Hz.), 5.25 (m, 1H), 3.52 (m, 2H), 3.20 (m, 2H), 2.55-2.25 (m, 4H), M/Z APCI: 203.2 (M+1).

The paragraph beginning at line 18 of page 54 has been amended as follows: ✓

a<sup>10</sup> Alternatively ~~3-91~~ can be synthesised in a parallel solution phase approach using the protocol applied for 2.

The paragraph beginning at line 1 of page 74 has been amended as follows: ✓

a<sup>11</sup> 5-Diallylaminomethyl-thiophene-2-sulfonyl chloride ~~229b~~-269b (270 mg, 1.88 mmol) and DIEA (0.88 mL, 5.13 mmol) were dissolved in 10 mL chloroform. This solution was added methylisonipecotate (269 mg, 1.88 mmol) in 1 mL chloroform. The reaction was stirred for 3h, diluted with CH<sub>2</sub>Cl<sub>2</sub> and extracted with HCl (0.1N), NaHCO<sub>3</sub> sat. and brine. The organic

cont'd.  
a<sup>11</sup> layer was dried over MgSO<sub>4</sub> and evaporated to dryness. The crude was purified by flash chromatography on silica gel using cyclohexane/EtOAc 1:1 as eluent to obtain 440 mg (65%) of **327a** as colorless oil: <sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 7.30 (d, *J* = 3.6 Hz, 1H), 6.83 (d, *J* = 3.6 Hz, 1H), 5.78 (m, 2H), 5.18 (m, 4H), 3.70 (s, 2H), 3.52 (m, 6H), 3.07 (m, 4H), 2.50 (m, 2H), 2.25 (m, 1H), 1.93 (m, 2H), 1.84 (m, 2H). M/Z APCI: 399.2 (M+1)

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The paragraph beginning at line 12 of page 76 has been amended as follows: ✓

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a<sup>12</sup> A solution of 4-chlorobenzoyl chloride (3.2\_g, 18.5 ~~mol~~mmol) in 50 ml dry CH<sub>2</sub>Cl<sub>2</sub> was added over 30 min to a stirred solution of 2-furfurylamine (2g, 20.6 ~~mol~~mmol) and <sup>i</sup>Pr<sub>2</sub>NEt (7\_ml, 41 ~~mol~~mmol) in CH<sub>2</sub>Cl<sub>2</sub> (200 ml) at 0°C. The reaction was allowed to warm to room temperature over 3 h. The mixture was diluted with 200 ml of CH<sub>2</sub>Cl<sub>2</sub>, washed twice with HCl aq. (1N) and dried over MgSO<sub>4</sub>. Evaporation of the solvent afforded 4g (83%) of the title benzamide as a white solid: <sup>1</sup>H NMR (DMSO-d<sub>6</sub>) δ 9.05 (t, *J* = 5.7 Hz, 1H), 7.89 (d, *J* = 8.7 Hz, 2H), 7.57 (m, 1H), 7.53 (d, *J* = 8.7 Hz, 2H), 6.40 (dd, *J* = 3.7, 1.1 Hz, 1H), 6.28 (d, *J* = 3.7 Hz, 1H), 4.46 (d, *J* = 5.6 Hz, 2H). M/Z APCI-: 236.6 (M+1), 234.8 (M-1).

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The paragraph beginning at line 5 of page 79 has been amended as follows: ✓

a<sup>13</sup> At -80°C oxalylchloride (36\_mg, 0.28\_mmol) was dissolved in dry CH<sub>2</sub>Cl<sub>2</sub>, while DMSO (50\_ul, 0.6 mmol) were added slowly. The solution was stirred under Ar. For 15'. **351a** (100\_mg, 0.25\_mmol) was dissolved in 2\_ml CH<sub>2</sub>Cl<sub>2</sub>, and this solution was added dropwise to the above reaction mixture at -80°C. The reaction was stirred for 15' at low temperature, before DIEA (0.21\_ml, 1.25\_mmol) was added. The reaction was stirred at -80°C for 30' and allowed to warm to rt. during 2h. A white solid was formed, the reaction was quenched with water and extracted with CH<sub>2</sub>Cl<sub>2</sub> several times. The combined organic layers were dried over MgSO<sub>4</sub> and evaporated to dryness. The crude was purified by flash chromatography on silica gel using EtOAc/cyclohexane 2:1 as eluent. **351b** (80\_mg, 80%) was obtained as a colourless solid.: H<sup>1</sup> NMR (CDCl<sub>3</sub>) δ 7.72 (d, J = 8.7 Hz, 2H), 7.46 (d, -J = 3.8 Hz, 1H), 7.42 (d, J = 8.7 Hz, 2H), 7.08 (d, -J = 3.8 Hz, 1H), 6.59 (t, J = 5.8\_Hz, 1H), 4.80 (d, J = 6.0 Hz, 2H), 3.58 (t, J = 7.5 Hz, 2H), 3.50 (s, 3H), 2.54 (t, J = 7.5, 2H), 3.35-3.23 (m, 3H), 2.95 (m, 2H), 1.94 (m, 2H), 1.86 (m, 2H), 1.70-1.50 (m, 5H), 1.30-1.20 (m, 8H), 0.87 (t, J = 6.8, 3H), M/Z APCI 399.0 (M+1), 397.2 (M-1)